Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Laa -;

Cap- Xa2 - Gly - Xp1 - Xp2 - Laa -;

Cap- Gly - Xp1 - Xp2 - Laa -;

Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Gly - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Paa - Xa2 - Sar - Xp1 - Laa -;

Cap- Xa2 - Sar - Xp1 - Laa -;

Cap- Paa - Xa2 - Sar - Xp1 - Xp2 - Laa -;

Cap- Xa2 - Sar - Xp1 - Xp2 - Laa -;

Cap- Sar - Xp1 - Xp2 - Laa -;

Cap- Paa - Xa2 - Sar - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Xa2 - Sar - Xp1 - Xp2 - Xp3 - Laa -; and

Cap- Sar - Xp1 - Xp2 - Xp3 - Laa -;

Paa is a Pro, Hyp, Aze, homo-Pro, Chg, Fph, Npa, Tzc, or proline mimetic;

Xa2 is an amino acid;

Xp1 is an amino acid wherein -Gly-Xp1- or -Sar-Xp1- form a bond cleavable by a matrixin;

Xp2 is an amino acid;

Xp3 is an amino acid;

Laa is an amino acid selected from Leu, Ile, Nle, β-homo-Leu, Hol, Hos, Ala, β-Ala, Cha, Cba, Cta, 4-pyridyl-Ala, 3-pyridyl-Ala, 2-pyridyl-Ala, Gly, Abu, Aib, Iva, Nva, Ahx, Aph, Amh, Phe, Bip, Glu, Arg, Trp, Tyr, O-(C_1-C_4 alkyl)-Tyr, O-(phenyl(C_1-C_4 alkyl)-)-Tyr, (C_3-C_8 alkyl)-Gly, and aminoalkyl carboxylic acid;

Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;

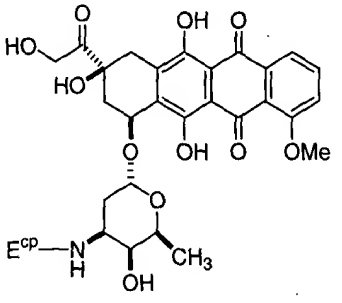
Xa4- is an amino acid;

R is an amino capping group;

and

A is an antineoplastic agent.

Claim 4 (amended). A compound of Claim 3 of Formula (Ia):



(Ia)

or a pharmaceutically acceptable salt form thereof, wherein;

E^{cp} is an enzyme cleavable peptide selected from:

Cap- Paa - Xa2 - Gly - Xp1 - Laa -;

Cap- Xa2 - Gly - Xp1 - Laa -;

Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Laa -;

Cap- Xa2 - Gly - Xp1 - Xp2 - Laa -;

Cap- Gly - Xp1 - Xp2 - Laa -;

Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Gly - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Paa - Xa2 - Sar - Xp1 - Laa -;

Cap- Xa2 - Sar - Xp1 - Laa -;

Cap- Paa - Xa2 - Sar - Xp1 - Xp2 - Laa -;

Cap- Xa2 - Sar - Xp1 - Xp2 - Laa -;

Cap- Sar - Xp1 - Xp2 - Laa -;

Cap- Paa - Xa2 - Sar - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Xa2 - Sar - Xp1 - Xp2 - Xp3 - Laa -; and

Cap- Sar - Xp1 - Xp2 - Xp3 - Laa -;

Paa is a Pro, Hyp, Aze, homo-Pro, Chg, Fph, Npa, Tzc, or proline mimetic;

Xa2 is an amino acid;

Xp1 is an amino acid wherein -Gly-Xp1- or -Sar-Xp1- form a bond cleavable by a matrixin;

Xp2 is an amino acid;

Xp3 is an amino acid;

Laa is an amino acid selected from Leu, Ile, Nle, β-homo-Leu, Hol, Hos, Ala, β-Ala, Cha, Cba, Cta, 4-pyridyl-Ala, 3-pyridyl-Ala, 2-pyridyl-Ala, Gly, Abu, Aib, Iva, Nva, Ahx, Aph, Amh, Phe, Bip, Glu, Arg, Trp, Tyr, O-(C_1-C_4 alkyl)-Tyr, O-(phenyl(C_1-C_4 alkyl)-)-Tyr, (C_3-C_8 alkyl)-Gly, and aminoalkyl carboxylic acid;

Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;

Xa4- is an amino acid;

R is selected from: $H_3CC(=O)$-;

$HOC(=O)$-$(CH_2)_vC(=O)$-,

wherein v is 1, 2, 3, 4, 5, or 6;

H_3CO-$(CH_2CH_2O)_t$-$CH_2C(=O)$-,

HO_2CCH_2O-$(CH_2CH_2O)_t$-$CH_2C(=O)$-,

H_2N-$(CH_2CH_2O)_t$-$CH_2C(=O)$-, and

$H_3CC(=O)HN$-$(CH_2CH_2O)_t$-$CH_2C(=O)$-,

wherein t is 1, 2, 3, or 4;

R^1-$C(=O)$-;

R^1-$S(=O)_2$-;

R^1-$NHC(=O)$-;

R^{1a}-$CH_2C(=O)$-;

proline substituted with -OR^3;

C_1-C_4 alkyl substituted with 0-1 R^4;

2-carboxyphenyl-$C(=O)$-; and

$(O=)C$-phenyl-$C(=O)$-;

a2 cont

R^1 is C_3-C_6 cycloalkyl substituted with 0, 1, or 2 substituents selected from -OH, methoxy and $-CO_2H$;

5-6 membered heterocycle; said heterocycle being saturated, partially saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4 heteroatoms selected from N, O, and S; said heterocycle optionally substituted with 1 or 2 -OH, methoxy or $-CO_2H$;

phenyl substituted with 0, 1, or 2 substituents selected from -OH, methoxy $-CO_2H$; or

C_1-C_6 alkyl substituted with 0-4 R^{1a};

R^{1a} is -OH, C_1-C_3 alkyl, C_1-C_4 alkoxy, $-CO_2H$, $-N(CH_2CH_2)_2N-R^2$, $-SO_3H$;

C_3-C_6 cycloalkyl substituted with 0, 1, or 2 substituents selected from methoxy and -OH;

5-6 membered heterocycle; said heterocycle being saturated, partially saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4 heteroatoms selected from N, O, and S; said heterocycle optionally substituted with 1 or 2 -OH; or

phenyl substituted with 0, 1, or 2 substituents selected from methoxy and -OH;

R^2 is -H, $H_2N(C_2$-C_4 alkyl)-, acetyl(H)N(C_2-C_4 alkyl)-, or acetyl;

R^3 is -H, C_1-C_4 alkyl, C_3-C_6 cycloalkyl, phenyl, or benzyl;

R^4 is -OH, C_1-C_3 alkyl, C_1-C_4 alkoxy, $-CO_2H$, $-N(CH_2CH_2)_2N-R^2$;

C_3-C_6 cycloalkyl substituted with 0, 1, or 2 substituents selected from methoxy and -OH;

5-6 membered heterocycle; said heterocycle being saturated, partially saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4 heteroatoms selected from N, O, and S; said heterocycle optionally substituted with 1 or 2 -OH; or

C_6-C_{10} carbocycle substituted with 0, 1, or 2 substituents selected from methoxy and -OH.

Claim 5 (amended). A compound of Claim 4 of Formula (Ia), or a pharmaceutically acceptable salt form thereof, wherein;

E^{cp} is an enzyme cleavable peptide selected from:

Cap- Paa - Xa2 - Gly - Xp1 - Laa -;

Cap- Xa2 - Gly - Xp1 - Laa -;

Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Laa -;

Cap- Xa2 - Gly - Xp1 - Xp2 - Laa -;

Cap- Gly - Xp1 - Xp2 - Laa -;

Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -; and

Cap- Gly - Xp1 - Xp2 - Xp3 - Laa -;

Paa is a Pro, Hyp, Aze, homo-Pro, Chg, Fph, Npa, Tzc, or proline mimetic;

Xa2 is an amino acid;

Xp1 is an amino acid wherein -Gly-Xp1- forms a bond cleavable by a matrixin;

Xp2 is an amino acid;

Xp3 is an amino acid;

Laa is an amino acid selected from Leu, Ile, Nle, β-homo-Leu, Hol, Hos, Ala, β-Ala, Cha, Cba, Cta, 4-pyridyl-Ala, Abu, Aib, Iva, Nva, Phe, Bip, Tyr, and O-benzyl-Tyr; and

Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;

Xa4- is an amino acid;

R is selected from: $H_3CC(=O)$-;

$HOC(=O)$-$(CH_2)_vC(=O)$-,

wherein v is 1, 2, 3, or 4;

H_3CO-$(CH_2CH_2O)_t$-$CH_2C(=O)$-,

HO_2CCH_2O-$(CH_2CH_2O)_t$-$CH_2C(=O)$-,

H_2N-$(CH_2CH_2O)_t$-$CH_2C(=O)$-, and

$H_3CC(=O)HN$-$(CH_2CH_2O)_t$-$CH_2C(=O)$-,

wherein t is 1, 2, or 3;

R^1-$C(=O)$-;

R^1-$S(=O)_2$-;

R^1-$NHC(=O)$-;

a2 Cont

R^{1a}-$CH_2C(=O)$-;

proline substituted with -OR^3;

C_1-C_4 alkyl substituted with 0-1 R^4;

$HO_3SCH_2CH(NH_2)C(=O)$-;

2-carboxyphenyl-C(=O)-; and

(O=)C-phenyl-C(=O)-;

R^1 is C_3-C_6 cycloalkyl substituted with 0, 1, or 2 substituents selected from -OH, methoxy and -CO_2H;

5-6 membered heterocycle; said heterocycle being saturated, partially saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4 heteroatoms selected from N, O, and S; said heterocycle optionally substituted with 1 or 2 -OH, methoxy or -CO_2H;

phenyl substituted with 0, 1, or 2 substituents selected from -OH, methoxy and -CO_2H; or

C_1-C_6 alkyl substituted with 0-4 R^{1a};

R^{1a} is -OH, C_1-C_3 alkyl, C_1-C_4 alkoxy, -CO_2H, -$N(CH_2CH_2)_2N$-R^2 , -SO_3H;

C_3-C_6 cycloalkyl substituted with 0, 1, or 2 substituents selected from methoxy and -OH;

a2 cont

5-6 membered heterocycle; said heterocycle being saturated, partially saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4 heteroatoms selected from N, O, and S; said heterocycle optionally substituted with 1 or 2 -OH; or

phenyl substituted with 0, 1, or 2 substituents selected from methoxy and -OH;

R^2 is -H, $H_2N(C_2$-C_4 alkyl)-, acetyl(H)$N(C_2$-C_4 alkyl)-, or acetyl;

R^3 is -H, C_1-C_4 alkyl, C_3-C_6 cycloalkyl, phenyl, or benzyl;

R^4 is -OH, C_1-C_3 alkyl, C_1-C_4 alkoxy, -CO_2H, -$N(CH_2CH_2)_2N$-R^2 ;

C_3-C_6 cycloalkyl substituted with 0, 1, or 2 substituents selected from methoxy and -OH;

5-6 membered heterocycle; said heterocycle being saturated, partially saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4 heteroatoms selected from N, O, and S; said heterocycle optionally substituted with 1 or 2 -OH; or C_6-C_{10} carbocycle substituted with 0, 1, or 2 substituents selected from methoxy and -OH.

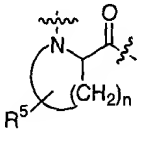
Claim 10 (amended). A compound of Claim 5 of Formula (Ia), or a pharmaceutically acceptable salt form thereof, wherein;

E^{cp} is an enzyme cleavable peptide selected from:

Cap- Paa - Xa2 - Gly - Xp1 - Laa -;
Cap- Xa2 - Gly - Xp1 - Laa -;
Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Laa -;
Cap- Xa2 - Gly - Xp1 - Xp2 - Laa -;
Cap- Gly - Xp1 - Xp2 - Laa -;
Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;
Cap- Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -; and
Cap- Gly - Xp1 - Xp2 - Xp3 - Laa -;

wherein -Gly-Xp1- forms a bond cleavable by a matrixin;

Paa is a Pro, Hyp, Aze, homo-Pro, Chg, Fph, Npa, Tzc, or proline mimetic of formula:



; wherein R^5 is selected from H, halogen, C_1-C_6 alkyl, -OH, C_1-C_6 alkoxy, and benzyloxy; and n is 2, 3, 4, or 5;

Xa2 is an amino acid selected from

Hof, Leu, His, Arg, Gln, Ile, Val, Lys, (R)-Leu, Orn, β-Ala, γ-Abu, Cha, Chg, Dap, Cit, N-methyl-Leu, valerolactam, N,N-dimethyl-Lys, 4-aza-Phe, morpholinylpropyl-Gly, N-methylpiperazinepropyl-Gly, 4-aza-Hof, Ala, Asn, Asp, Aze, Cys, Glu, Gly, Hyp, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Ser, Thr, Trp, Tyr, Cya, Hca, and Spa;

Xp1 is an amino acid selected from Hof; Leu; Bip; Phe; nor-Leu; Tha; Phg; Val; Glu; Asn; Ser; Ala; homo-Tyr; Aze; 4-aza-Hof; O-(3-pyridyl)-Tyr; O-(4-pyridyl)-Tyr; O-benzyl-Tyr; O-benzyl-Thr; O-benzyl-Ser; O-methyl-Ser; O-allyl-Ser; 4-nitro-Hof; N-methyl-Leu; O-(4-pyridylmethyl)-Tyr; 4-hydroxy-phenyl-Gly; phenylpropyl-Gly; styryl-Ala , and 2Nal;

Xp2 is an amino acid selected from Tyr; Ala; Ser; Leu; Gln; Val; Glu, His; Lys; Arg; Orn; Aze; Hof; homo-Tyr; Cit; 4-aza-Phe; N,N-dimethyl-Lys; Dab; Dap; Asn, Asp, Aze, Cha, Cys, Gly, Hyp, Ile, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Thr, Trp, Cya, Hca, Spa, morpholinylpropyl-Gly; O-(4-pyridylmethyl)-Tyr; and N-methylpiperazinepropyl-Gly;

Xp3 is an amino acid selected from Tyr, Ala, Ser, Leu, Hof, Arg, Asn, Asp, Aze, Cha, Cys, Dpa, Gln, Glu, Gly, His, Hyp, Ile, Irg, Lys, Met, Orn, Phe, Phe(4-fluoro), Pro, Sar, Thr, Trp, and Val;

Laa is an amino acid selected from Leu, Ile, Nle, β-homo-Leu, Hol, Hos, Ala, β-Ala, Cha, Cba, Cta, 4-pyridyl-Ala, Abu, Aib, Iva, Nva, and Phe;

Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;

Xa4- is an amino acid selected from Gly, Pro, γ-Glu, Dmg, Ala, Arg, Asn, Asp, β-Asp, Aze, Cha, Cys, Dpa, Gln, Glu, His, Hyp, Ile, Irg, Leu, Lys, Met, Orn, Phe, Sar, Ser, Thr, Trp, Tyr, and Val;

R is selected from: $H_3CC(=O)$-;

$HOC(=O)CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2CH_2C(=O)$-;

$H_3COCH_2CH_2OCH_2C(=O)$- ;

$H_3COCH_2CH_2OCH_2CH_2OCH_2C(=O)$- ;

$HO_2CCH_2OCH_2CH_2OCH_2C(=O)$- ;

$H_2NCH_2CH_2OCH_2C(=O)$- ;

$H_2NCH_2CH_2OCH_2CH_2OCH_2C(=O)$- ;

$H_3CC(=O)HNCH_2CH_2OCH_2C(=O)$- ;

$H_3CC(=O)HNCH_2CH_2OCH_2CH_2OCH_2C(=O)$- ;

$H_2NCH_2CH_2N(CH_2CH_2)_2NCH_2C(O)$-;

$H_3CC(=O)HNCH_2CH_2N(CH_2CH_2)_2NCH_2C(O)$-;

$H_3CC(=O)N(CH_2CH_2)_2NCH_2C(O)$-;

$O(CH_2CH_2)_2NCH_2CH_2NHC(O)$- ;

$HO_2CCH_2C(CO_2H)(OH)CH_2C(=O)$- ;

$HO_2CCH_2C(CH_3)(OH)CH_2C(=O)$- ;

2-carboxycyclohexyl-C(=O)-;

2-carboxycyclopentyl-C(=O)-;

carbobenzyloxy;

4-methoxy-benzenesulfonyl;

cyclopropylcarbonyl;

cyclobutylcarbonyl;

3-pyridinecarbonyl;

2-pyrazinecarbonyl;

tetrazoleacetyl;

pivaloyl;

methoxyacetyl;

hydroxyproline; and

4-(2-(5,6,7,8-tetrahydronaphthenyl))butyl.

Claim 15 (amended). A compound of Claim 10 of Formula (Ia), or a pharmaceutically acceptable salt form thereof, wherein;

E^{cp} is an enzyme cleavable peptide selected from:

Cap- Paa - Xa2 - Gly - Leu - Laa -;

Cap- Paa - Xa2 - Gly - Hof - Laa -;

Cap- Xa2 - Gly - Leu - Laa -;

Cap- Xa2 - Gly - Hof - Laa -;

Cap- Paa - Xa2 - Gly - Leu - Xp2 - Laa -;

Cap- Paa - Xa2 - Gly - Hof - Xp2 - Laa -;

Cap- Xa2 - Gly - Leu - Xp2 - Laa -;

Cap- Xa2 - Gly - Hof - Xp2 - Laa -;

Cap- Gly - Leu - Xp2 - Laa -; and

Cap- Gly - Hof - Xp2 - Laa -;

wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by a matrixin;

Paa is a Pro, Hyp, Aze, homo-Pro, or Npa;

Xa2 is an amino acid selected from

Hof, Leu, His, Arg, Gln, Ile, Val, Lys, (R)-Leu, Orn, β-Ala, γ-Abu, Cha, Chg, Dap, Cit, N-methyl-Leu, valerolactam, N,N-dimethyl-Lys, 4-aza-Phe, morpholinylpropyl-Gly, N-methylpiperazinepropyl-Gly, 4-aza-Hof, Ala, Asn, Asp, Aze, Cys, Glu, Gly, Hyp, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Ser, Thr, Trp, Tyr, Cya, Hca, and Spa;

Xp2 is an amino acid selected from Tyr; Ala; Ser; Leu; Gln; Val; Glu, His; Lys; Arg; Orn; Aze; Hof; homo-Tyr; Cit; 4-aza-Phe; N,N-dimethyl-Lys; Dab; Dap; Asn, Asp, Aze, Cha, Cys, Gly, Hyp, Ile, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Thr, Trp, Cya, Hca, Spa, morpholinylpropyl-Gly; O-(4-pyridylmethyl)-Tyr; and N-methylpiperazinepropyl-Gly;

a3 cont

Laa is an amino acid selected from Leu, Cha, Nle, and Hol;

Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;

Xa4- is an amino acid selected from Gly, Pro, γ-Glu, and Dmg;

R is selected from: $H_3CC(=O)$-;

$HOC(=O)CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2CH_2C(=O)$-;

$H_3COCH_2CH_2OCH_2C(=O)$- ;

$H_3COCH_2CH_2OCH_2CH_2OCH_2C(=O)$- ;

$HO_2CCH_2OCH_2CH_2OCH_2C(=O)$- ;

$H_2NCH_2CH_2OCH_2C(=O)$- ;

$H_2NCH_2CH_2OCH_2CH_2OCH_2C(=O)$- ;

$H_3CC(=O)HNCH_2CH_2OCH_2C(=O)$- ;

$H_3CC(=O)HNCH_2CH_2OCH_2CH_2OCH_2C(=O)$- ;

$H_2NCH_2CH_2N(CH_2CH_2)_2NCH_2C(O)$-;

$H_3CC(=O)HNCH_2CH_2N(CH_2CH_2)_2NCH_2C(O)$-;

$H_3CC(=O)N(CH_2CH_2)_2NCH_2C(O)$-;

$O(CH_2CH_2)_2NCH_2CH_2NHC(O)$- ;

$HO_2CCH_2C(CO_2H)(OH)CH_2C(=O)$- ;

$HO_2CCH_2C(CH_3)(OH)CH_2C(=O)$- ;

2-carboxycyclohexyl-C(=O)-;

2-carboxycyclopentyl-C(=O)-;

carbobenzyloxy;

4-methoxy-benzenesulfonyl;

cyclopropylcarbonyl;

cyclobutylcarbonyl;

3-pyridinecarbonyl;

2-pyrazinecarbonyl;

tetrazoleacetyl;

pivaloyl;

methoxyacetyl;

hydroxyproline; and

4-(2-(5,6,7,8-tetrahydronaphthenyl))butyl.

Claim 20 (amended). A compound of Claim 15 of Formula (Ia), or a pharmaceutically acceptable salt form thereof, wherein;

E^{cp} is an enzyme cleavable peptide selected from:

Cap- Paa - Xa2 - Gly - Leu - Leu -;

Cap- Paa - Xa2 - Gly - Leu - Cha -;

Cap- Paa - Xa2 - Gly - Leu - Nle -;

Cap- Paa - Xa2 - Gly - Leu - Hol -;

Cap- Paa - Xa2 - Gly - Hof - Leu -;

Cap- Paa - Xa2 - Gly - Hof - Cha -;

Cap- Paa - Xa2 - Gly - Hof - Nle -;

Cap- Paa - Xa2 - Gly - Hof - Hol -;

Cap- Paa - Xa2 - Gly - Leu - Xp2 - Leu -;

Cap- Paa - Xa2 - Gly - Leu - Xp2 - Cha -;

Cap- Paa - Xa2 - Gly - Leu - Xp2 - Nle -;

Cap- Paa - Xa2 - Gly - Leu - Xp2 - Hol -;

Cap- Paa - Xa2 - Gly - Hof - Xp2 - Leu -;

Cap- Paa - Xa2 - Gly - Hof - Xp2 - Cha -;

Cap- Paa - Xa2 - Gly - Hof - Xp2 - Nle -; and

Cap- Paa - Xa2 - Gly - Hof - Xp2 - Hol -;

wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by a matrixin;

Paa is a Pro, Hyp, Aze, homo-Pro, or Npa;

a4 cont

Xa2 is an amino acid selected from

Hof, Leu, His, Arg, Gln, Ile, Val, Lys, (R)-Leu, Orn, β-Ala, γ-Abu, Cha, Chg, Dap, Cit, N-methyl-Leu, valerolactam, N,N-dimethyl-Lys, 4-aza-Phe, morpholinylpropyl-Gly, N-methylpiperazinepropyl-Gly, 4-aza-Hof, Ala, Asn, Asp, Aze, Cys, Glu, Gly, Hyp, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Ser, Thr, Trp, and Tyr;

Xp2 is an amino acid selected from Tyr; Ala; Ser; Leu; Gln; Val; Glu, His; Lys; Arg; Orn; Aze; Hof; homo-Tyr; Cit; 4-aza-Phe; N,N-dimethyl-Lys; Dab; Dap; Asn, Asp, Aze, Cha, Cys, Gly, Hyp, Ile, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Thr, Trp; morpholinylpropyl-Gly; O-(4-pyridylmethyl)-Tyr; and N-methylpiperazinepropyl-Gly;

Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;

Xa4- is an amino acid selected from Gly, Pro, γ-Glu, and Dmg;

R is selected from: $H_3CC(=O)$-;

$HOC(=O)CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2CH_2C(=O)$-;

$H_3COCH_2CH_2OCH_2C(=O)$-;

$H_3COCH_2CH_2OCH_2CH_2OCH_2C(=O)$-;

2-carboxycyclohexyl-C(=O)-;

2-carboxycyclopentyl-C(=O)-; and

tetrazoleacetyl.

Claim 25 (amended). A compound of Claim 15 of Formula (Ia), or a pharmaceutically acceptable salt form thereof, wherein;

E^{cp} is an enzyme cleavable peptide selected from:

Cap- Xa2 - Gly - Leu - Leu -;

Cap- Xa2 - Gly - Leu - Cha -;

Cap- Xa2 - Gly - Leu - Nle -;

Cap- Xa2 - Gly - Leu - Hol -;

Cap- Xa2 - Gly - Hof - Leu -;

Cap- Xa2 - Gly - Hof - Cha -;

Cap- Xa2 - Gly - Hof - Nle -;

Cap- Xa2 - Gly - Hof - Hol -;

Cap- Xa2 - Gly - Leu - Xp2 - Leu -;

Cap- Xa2 - Gly - Leu - Xp2 - Cha -;

Cap- Xa2 - Gly - Leu - Xp2 - Nle -;

Cap- Xa2 - Gly - Leu - Xp2 - Hol -;

Cap- Xa2 - Gly - Hof - Xp2 - Leu -;

Cap- Xa2 - Gly - Hof - Xp2 - Cha -;

Cap- Xa2 - Gly - Hof - Xp2 - Nle -; and

Cap- Xa2 - Gly - Hof - Xp2 - Hol -;

wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by a matrixin;

Xa2 is an amino acid selected from

Hof, Leu, His, Arg, Gln, Ile, Val, Lys, (R)-Leu, Orn, β-Ala, γ-Abu, Cha, Chg, Dap, Cit, N-methyl-Leu, valerolactam, N,N-dimethyl-Lys, 4-aza-Phe, morpholinylpropyl-Gly, N-methylpiperazinepropyl-Gly, 4-aza-Hof, Ala, Asn, Asp, Aze, Cys, Glu, Gly, Hyp, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Ser, Thr, Trp, and Tyr;

Xp2 is an amino acid selected from Tyr; Ala; Ser; Leu; Gln; Val; Glu, His; Lys; Arg; Orn; Aze; Hof; homo-Tyr; Cit; 4-aza-Phe; N,N-dimethyl-Lys; Dab; Dap; Asn, Asp, Aze, Cha, Cys, Gly, Hyp, Ile, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Thr, Trp; morpholinylpropyl-Gly; O-(4-pyridylmethyl)-Tyr; and N-methylpiperazinepropyl-Gly;

Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;

Xa4- is an amino acid selected from Gly, Pro, γ-Glu, and Dmg;

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R is selected from: $H_3CC(=O)$-;

$HOC(=O)CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2CH_2C(=O)$-;

$H_3COCH_2CH_2OCH_2C(=O)$-;

$H_3COCH_2CH_2OCH_2CH_2OCH_2C(=O)$-;

2-carboxycyclohexyl-C(=O)-;

2-carboxycyclopentyl-C(=O)-; and

tetrazoleacetyl.

Claim 30 (amended). A compound of Claim 4 of Formula (I), or a pharmaceutically acceptable salt form thereof, wherein;

a6

E^{cp} is an enzyme cleavable peptide selected from:

SEQ ID NO: 185: R-γ-E -P-Orn-G-Hof-E-L-;

SEQ ID NO: 186: R-γ-E -P-L-G-(O-benzyl-S)-Y-L-;

SEQ ID NO: 187: R -γ-E -P-L-G-(O-benzyl-S)-Y-Nle-;

SEQ ID NO: 188: R -P-L-G-(O-benzyl-S)-Y-L-;

SEQ ID NO: 189: R -P-L-G-(O-methyl-S)-Y-L-;

SEQ ID NO: 190: R -P-L-G-(azaHof)-Y-L-;

SEQ ID NO: 191: R -P-L-G-Hof-Y-L-;

SEQ ID NO: 192: R -P-L-G-Hof-E-L-;

SEQ ID NO: 193: R -P-L-G-(O-benzyl-S)-Y-Nle-;

SEQ ID NO: 194: R -P-L-G-(O-methyl-S)-Y- Nle -;

SEQ ID NO: 195: R -P-L-G-(azaHof)-Y- Nle -;

SEQ ID NO: 196: R -P-L-G-Hof-Y- Nle -;

SEQ ID NO: 197: R -P-L-G-Hof-E- Nle -;

SEQ ID NO: 198: R -P-L-G-(O-benzyl-S)-Y-Hol-;

SEQ ID NO: 199: R -P-L-G-(O-methyl-S)-Y- Hol -;

SEQ ID NO: 200: R -P-L-G-(azaHof)-Y- Hol -;

SEQ ID NO: 201: R -P-L-G-Hof-Y- Hol -;

and

SEQ ID NO: 202: R -P-L-G-Hof-E- Hol -;

R is selected from: $H_3CC(=O)$-;

$HOC(=O)-(CH_2)_vC(=O)$- ;

wherein v is 1, 2, 3, 4, 5, or 6;

$H_3CO-(CH_2CH_2O)_t-CH_2C(=O)$- ;

$HO_2CCH_2O-(CH_2CH_2O)_t-CH_2C(=O)$- ;

$H_2N-(CH_2CH_2O)_t-CH_2C(=O)$- ; and

$H_3CC(=O)HN-(CH_2CH_2O)_t-CH_2C(=O)$- ;

wherein t is 1, 2, 3, or 4;

$R^1-C(=O)$-;

$R^1-S(=O)_2$-;

$R^1-NHC(=O)$-;

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R^{1a}-$CH_2C(=O)$-;

proline substituted with $-OR^3$;

C_1-C_4 alkyl substituted with 0-1 R^4;

2-carboxyphenyl-C(=O)-; and

(O=)C-phenyl-C(=O)-;

R^1 is C_3-C_6 cycloalkyl substituted with 0, 1, or 2 substituents selected from -OH, methoxy and $-CO_2H$;

5-6 membered heterocycle; said heterocycle being saturated, partially saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4 heteroatoms selected from N, O, and S; said heterocycle optionally substituted with 1 or 2 -OH, methoxy or $-CO_2H$;

phenyl substituted with 0, 1, or 2 substituents selected from -OH, methoxy $-CO_2H$; or

C_1-C_6 alkyl substituted with 0-4 R^{1a};

R^{1a} is -OH, C_1-C_3 alkyl, C_1-C_4 alkoxy, $-CO_2H$, $-N(CH_2CH_2)_2N$-R^2 , $-SO_3H$;

C_3-C_6 cycloalkyl substituted with 0, 1, or 2 substituents selected from methoxy and -OH;

5-6 membered heterocycle; said heterocycle being saturated, partially saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4 heteroatoms selected from N, O, and S; said heterocycle optionally substituted with 1 or 2 -OH; or

phenyl substituted with 0, 1, or 2 substituents selected from methoxy and -OH;

R^2 is -H, $H_2N(C_2$-C_4 alkyl)-, acetyl(H)$N(C_2$-C_4 alkyl)-, or acetyl;

R^3 is -H, C_1-C_4 alkyl, C_3-C_6 cycloalkyl, phenyl, or benzyl;

R^4 is -OH, C_1-C_3 alkyl, C_1-C_4 alkoxy, $-CO_2H$, $-N(CH_2CH_2)_2N$-R^2 ;

C_3-C_6 cycloalkyl substituted with 0, 1, or 2 substituents selected from methoxy and -OH;

5-6 membered heterocycle; said heterocycle being saturated, partially saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4 heteroatoms selected from N, O, and S; said heterocycle optionally substituted with 1 or 2 -OH; or C_6-C_{10} carbocycle substituted with 0, 1, or 2 substituents selected from methoxy and -OH.

Claim 31 (amended). A compound of Claim 30 of Formula (I), or a pharmaceutically acceptable salt form thereof, wherein;

E^{cp} is an enzyme cleavable peptide selected from:

SEQ ID NO: 185: R-γ-E -P-Orn-G-Hof-E-L-;
SEQ ID NO: 186: R-γ-E -P-L-G-(O-benzyl-S)-Y-L-;
SEQ ID NO: 187: R -γ-E -P-L-G-(O-benzyl-S)-Y-Nle-;
SEQ ID NO: 188: R -P-L-G-(O-benzyl-S)-Y-L-;
SEQ ID NO: 189: R -P-L-G-(O-methyl-S)-Y-L-;
SEQ ID NO: 190: R -P-L-G-(azaHof)-Y-L-;
SEQ ID NO: 191: R -P-L-G-Hof-Y-L-;
SEQ ID NO: 192: R -P-L-G-Hof-E-L-;
SEQ ID NO: 193: R -P-L-G-(O-benzyl-S)-Y-Nle-;
SEQ ID NO: 194: R -P-L-G-(O-methyl-S)-Y- Nle -;
SEQ ID NO: 195: R -P-L-G-(azaHof)-Y- Nle -;
SEQ ID NO: 196: R -P-L-G-Hof-Y- Nle -;
SEQ ID NO: 197: R -P-L-G-Hof-E- Nle -;
SEQ ID NO: 198: R -P-L-G-(O-benzyl-S)-Y-Hol-;
SEQ ID NO: 199: R -P-L-G-(O-methyl-S)-Y- Hol -;
SEQ ID NO: 200: R -P-L-G-(azaHof)-Y- Hol -;
SEQ ID NO: 201: R -P-L-G-Hof-Y- Hol -;

and

SEQ ID NO: 202: R -P-L-G-Hof-E- Hol -;

R is selected from: $H_3CC(=O)$-;

$HOC(=O)CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2CH_2C(=O)$-;

$H_3COCH_2CH_2OCH_2C(=O)$- ;

$H_3COCH_2CH_2OCH_2CH_2OCH_2C(=O)$- ;

$HO_2CCH_2OCH_2CH_2OCH_2C(=O)$- ;

$H_2NCH_2CH_2OCH_2C(=O)$- ;

$H_2NCH_2CH_2OCH_2CH_2OCH_2C(=O)$- ;

$H_3CC(=O)HNCH_2CH_2OCH_2C(=O)$- ;

$H_3CC(=O)HNCH_2CH_2OCH_2CH_2OCH_2C(=O)$- ;

$H_2NCH_2CH_2N(CH_2CH_2)_2NCH_2C(O)$-;

$H_3CC(=O)HNCH_2CH_2N(CH_2CH_2)_2NCH_2C(O)$-;

$H_3CC(=O)N(CH_2CH_2)_2NCH_2C(O)$-;

$O(CH_2CH_2)_2NCH_2CH_2NHC(O)$- ;

$HO_2CCH_2C(CO_2H)(OH)CH_2C(=O)$- ;

$HO_2CCH_2C(CH_3)(OH)CH_2C(=O)$- ;

2-carboxycyclohexyl-C(=O)-;

2-carboxycyclopentyl-C(=O)-;

carbobenzyloxy;

4-methoxy-benzenesulfonyl;

cyclopropylcarbonyl;

cyclobutylcarbonyl;

3-pyridinecarbonyl;

2-pyrazinecarbonyl;

tetrazoleacetyl;

pivaloyl;

methoxyacetyl;

hydroxyproline; and

4-(2-(5,6,7,8-tetrahydronaphthenyl))butyl.

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Claim 32 (amended). A compound of Claim 30 of Formula (I), or a pharmaceutically acceptable salt form thereof, wherein;

E^{cp} is an enzyme cleavable peptide selected from:

SEQ ID NO: 185: R-γ-E -P-Orn-G-Hof-E-L-;

SEQ ID NO: 186: R-γ-E -P-L-G-(O-benzyl-S)-Y-L-;

SEQ ID NO: 187: R -γ-E -P-L-G-(O-benzyl-S)-Y-Nle-;

SEQ ID NO: 188: R -P-L-G-(O-benzyl-S)-Y-L-;

SEQ ID NO: 189: R -P-L-G-(O-methyl-S)-Y-L-;

SEQ ID NO: 190: R -P-L-G-(azaHof)-Y-L-;

SEQ ID NO: 191: R -P-L-G-Hof-Y-L-;

SEQ ID NO: 192: R -P-L-G-Hof-E-L-;

SEQ ID NO: 193: R -P-L-G-(O-benzyl-S)-Y-Nle-;

SEQ ID NO: 194: R -P-L-G-(O-methyl-S)-Y- Nle -;

SEQ ID NO: 195: R -P-L-G-(azaHof)-Y- Nle -;

SEQ ID NO: 196: R -P-L-G-Hof-Y- Nle -;

SEQ ID NO: 197: R -P-L-G-Hof-E- Nle -;

SEQ ID NO: 198: R -P-L-G-(O-benzyl-S)-Y-Hol-;

SEQ ID NO: 199: R -P-L-G-(O-methyl-S)-Y- Hol -;

SEQ ID NO: 200: R -P-L-G-(azaHof)-Y- Hol -;

SEQ ID NO: 201: R -P-L-G-Hof-Y- Hol -;

and

SEQ ID NO: 202: R -P-L-G-Hof-E- Hol -;

a6 cont

R is selected from: $H_3CC(=O)$-;

$HOC(=O)CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2C(=O)$-;

$HOC(=O)CH_2CH_2CH_2CH_2C(=O)$-;

$H_3COCH_2CH_2OCH_2C(=O)$-;

$H_3COCH_2CH_2OCH_2CH_2OCH_2C(=O)$-; and

tetrazoleacetyl.

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a7 Claim 36 (amended). A method of treating a mammal afflicted with a cancer comprising administering to a mammal afflicted with a cancer a therapeutically effective amount of a compound of Claim 1.